## (19) World Intellectual Property Organization International Bureau



## | 1870|| 1871|| 1871|| 1871|| 1871|| 1871|| 1871|| 1871|| 1871|| 1871|| 1871|| 1871|| 1871|| 1871|| 1871|| 187

(43) International Publication Date 22 January 2004 (22.01.2004)

**PCT** 

(10) International Publication Number WO 2004/006886 A3

(51) International Patent Classification<sup>7</sup>: 47/10, 47/26, 31/454

A61K 47/38,

(21) International Application Number:

PCT/EP2003/007619

(22) International Filing Date:

14 July 2003 (14.07.2003)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

0216416.8

15 July 2002 (15.07.2002) GE

- (71) Applicant (for all designated States except AT, US): NO-VARTIS AG [CH/CH]; Lichtstrasse 35, CH-4056 Basel (CH).
- (71) Applicant (for AT only): NOVARTIS PHARMA GMBH [AT/AT]; Brunner Strasse 59, A-1230 Vienna (AT).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): WIECKHUSEN, Dierk [DE/DE]; Mühlenstrasse 78, 79589 Binzen (DE). GLAUSCH, Alexandra [DE/DE]; Schutzackerstrasse 20, 79576 Weil am Rhein (DE). AHLHEIM, Markus [DE/DE]; Gewerbestrasse 9, 79219 Staufen (DE).

- (74) Agent: GRUBB, Philip; Novartis AG, Corporate Intellectual Property, CH-4002 Basel (CH).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SY, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

## Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments
- (88) Date of publication of the international search report: 19 February 2004

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: INJECTABLE DEPOT FORMULATION COMPRISING CRYSTALS OF ILOPERIDONE

$$C=O$$
 or  $CH-OH$ 

(57) Abstract: An injectable depot formulation comprising crystals having Structure (I) wherein R is (FII) and the X<sub>50</sub> value of the crystals is from 1 to 200 microns. Depot formulations containing crystals of iloperidone or its metabolite have the following advantages: (i) release of the crystals in plasma can be correlated with the size of the crystals; (ii) absorption of the crystals in plasma can be correlated with the size of the crystals can be controlled by crystal engineering and/or milling; and (iv) the crystals are stable upon storage, and stable to sterilization procedures, such as gamma irradiation.